

Day : Wednesday
Date: 7/28/2004
Time: 07:48:32

PALM INTRANET

Inventor Name Search Result

Your Search was:

Last Name = MILLER

First Name = DUANE

Application#	Patent#	Status	Date Filed	Title	Inventor Name 51
<u>60555803</u>	Not Issued	020	03/24/2004	ANALOGS EXHIBITING INHIBITION OF CELL PROLIFERATION AND THEIR USE IN TREATING DISEASES	MILLER, DUANE D.
<u>60543724</u>	Not Issued	020	02/11/2004	SYNTHETIC PHOSPHOLIPID SKT INHIBITORS FOR CENCER	MILLER, DUANE D.
<u>60543712</u>	Not Issued	020	02/11/2004	CONFORMATIONALLY RESTRICTED SELECTIVE ANDROGEN RECEPTOR MODULATORS	MILLER, DUANE D.
<u>60529573</u>	Not Issued	018	12/16/2003	PRODRUGS OF SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>60523079</u>	Not Issued	020	11/18/2003	THIAZOLIDINONE AMIDES, THIAZOLIDINE CARBOXYLIC ACID AMIDES, METHODS OF MAKING, AND USE THEREOF	MILLER, DUANE D.
<u>60511071</u>	Not Issued	020	10/15/2003	ANTI-CANCER COMPOUNDS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>60510138</u>	Not Issued	020	10/14/2003	TREATING BONE-RELATED DISORDERS WITH SELECTIVE ANDROGEN RECEPTOR MODULATORS	MILLER, DUANE D.
<u>60509971</u>	Not Issued	020	10/09/2003	LPA RECEPTOR AGONISTS AND ANTAGONISTS AND METHODS OF USE	MILLER, DUANE D.
<u>60453736</u>	Not Issued	159	02/28/2002	SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>60453704</u>	Not Issued	159	02/28/2002	SELECTIVE ANDROGEN RECEPTOR MODULATORS AND	MILLER, DUANE D.

				METHODS OF USE THEREOF	
<u>60418336</u>	Not Issued	159	10/16/2002	TREATING ANDROGEN DECLINE IN AGING MALE (ADAM)-ASSOCIATED CONDITIONS WITH SARMS	MILLER, DUANE D.
<u>60418229</u>	Not Issued	159	10/15/2002	TREATING OBESITY WITH SELECTIVE ANDROGEN RECEPTOR MODULATORS	MILLER, DUANE D.
<u>60418192</u>	Not Issued	159	10/15/2002	TREATING ANDROGEN DECLINE IN AGING MALE (ADAM)-ASSOCIATED CONDITIONS WITH SARMS	MILLER, DUANE D.
<u>60418173</u>	Not Issued	159	10/15/2002	HETEROCYCLIC SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>60418166</u>	Not Issued	159	10/15/2002	METHYLENE-BRIDGED SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>60367355</u>	Not Issued	159	08/24/2000	SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>60363952</u>	Not Issued	159	03/13/2002	SUBSTITUTED TETRAHYDROISOQUINOLINE AND USE THEREOF TO INHIBIT GLIOMA AND/OR GLIOBLASTOMA GROWTH	MILLER, DUANE D.
<u>60354300</u>	Not Issued	159	02/07/2002	TREATING BENIGN PROSTATE HYPERPLASIA WITH SARMS	MILLER, DUANE D.
<u>60336185</u>	Not Issued	159	12/06/2001	TREATING CHRONIC MUSCLE WASTING WITH SARMS	MILLER, DUANE
<u>60311320</u>	Not Issued	159	08/10/2001	NOVEL ALPHA-ADRENERGIC ANTAGONISTS	MILLER, DUANE D.
<u>60300083</u>	Not Issued	159	06/25/2001	SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>60285218</u>	Not Issued	159	04/20/2001	COMPOSITIONS CONTAINING LYSOPHOSPHOTIDIC ACIDS WHICH INHIBIT APOPTOSIS, METHODS OF MAKING THE COMPOSITIONS AND USES THEREOF	MILLER, DUANE D.
<u>60278181</u>	Not Issued	159	03/23/2001	YOHIMBINE DIMERS EXHIBITING BINDING SELECTIVITY FOR	MILLER, DUANE D.

				HUMAN ALPHA2A- VERSUS ALPHA2B- ADRENERGIC RECEPTORS	
<u>60265269</u>	Not Issued	159	01/30/2001	INTERNET PRINT GUIDE	MILLER, DUANE
<u>60243748</u>	Not Issued	159	10/30/2000	METHOD AND APPARATUS FOR INDEXING, SEARCHING, DISTRIBUTING, AND MANAGING MULTIMEDIA RESOURCES	MILLER, DUANE
<u>60193168</u>	Not Issued	159	03/29/2000	B3-ADRENORECEPTOR AGONISTS, AGONIST COMPOSITIONS AND METHODS OF MAKING AND USING THE SAME	MILLER, DUANE D.
<u>60190370</u>	Not Issued	159	03/17/2000	SYNTHETIC LYSOPHOSPHATIDIC ACID (LPA) RECEPTOR AGONISTS AND ANTAGONISTS AND USES THEREOF	MILLER, DUANE D.
<u>10849039</u>	Not Issued	019	05/20/2004	METABOLITES OF SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>10809757</u>	Not Issued	030	03/25/2004	REAL-TIME POLYMERASE CHAIN REACTION-BASED GENOTYPING ASSAY FOR SINGLE NUCLEOTIDE POLYMORPHISM	MILLER, DUANE
<u>10800021</u>	Not Issued	019	03/15/2004	METHOD FOR DETECTING SELECTIVE ANDROGEN RECEPTOR MODULATORS	MILLER, DUANE D.
<u>10760152</u>	Not Issued	019	01/20/2004	METHOD OF TREATING BREAST CANCER WITH ANDROGEN RECEPTOR ANTAGONISTS	MILLER, DUANE D.
<u>10759538</u>	Not Issued	019	01/20/2004	TREATING ANDROGEN DEFICIENCY IN FEMALE (ADIF)-ASSOCIATED CONDITIONS WITH SARMS	MILLER, DUANE D.
<u>10754626</u>	Not Issued	019	01/12/2004	LARGE-SCALE SYNTHESIS OF SELECTIVE ANDROGEN RECEPTOR MODULATORS	MILLER, DUANE D.
<u>10684582</u>	Not Issued	019	10/15/2003	HALOACETAMIDE AND AZIDE SUBSTITUTED COMPOUNDS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>10683160</u>	Not Issued	019	10/14/2003	TREATING OBESITY WITH SELECTIVE ANDROGEN RECEPTOR MODULATORS	MILLER, DUANE D.

<u>10683157</u>	Not Issued	030	10/14/2003	METHYLENE-BRIDGED SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>10683156</u>	Not Issued	020	10/14/2003	METHOD FOR DETECTING SELECTIVE ANDROGEN RECEPTOR MODULATORS	MILLER, DUANE D.
<u>10683125</u>	Not Issued	020	10/14/2003	HETEROCYCLIC SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>10679722</u>	Not Issued	030	10/06/2003	YOHIMBINE DIMERS EXHIBITING BINDING SELECTIVITIES FOR ALPHA2 ADRENERGIC RECEPTORS	MILLER, DUANE D.
<u>10270263</u>	Not Issued	160	10/15/2002	SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>10269438</u>	<u>6596734</u>	150	10/11/2002	TETRAHYDROISOQUINOLINE COMPOUNDS FOR USE AS BETA3-ADRENORECEPTOR AGONISTS	MILLER, DUANE D.
<u>10215547</u>	Not Issued	041	08/09/2002	NOVEL ALPHA ADRENERGIC AGENTS	MILLER, DUANE D.
<u>10149953</u>	<u>6593341</u>	150	06/17/2002	BETA3-ADRENORECEPTOR AGONISTS, AGONIST COMPOSITIONS AND METHODS OF MAKING AND USING THE SAME	MILLER, DUANE D.
<u>09953686</u>	Not Issued	061	09/17/2001	LPA RECEPTOR AGONISTS AND ANTAGONISTS AND METHODS OF USE	MILLER, DUANE D.
<u>09935045</u>	<u>6569896</u>	150	08/23/2001	SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>09935044</u>	<u>6492554</u>	150	08/23/2001	SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>09923253</u>	<u>6710346</u>	150	08/02/2001	ACTIVE INFRARED PRESENCE SENSOR	MILLER, DUANE SCOTT
<u>09811838</u>	Not Issued	120	03/19/2001	LPA RECEPTOR AGONISTS AND ANTAGONISTS AND METHODS OF USE	MILLER, DUANE D.
<u>09708090</u>	Not	161	11/08/2000	NON-STEROIDAL AGONIST	MILLER,

<u>10270732</u>	Not Issued	041	10/16/2002	SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>10270233</u>	Not Issued	030	10/15/2002	SELECTIVE ANDROGEN RECEPTOR MODULATORS AND METHODS OF USE THEREOF	MILLER, DUANE D.
<u>10270232</u>	Not Issued	071	10/15/2002	FORMULATIONS COMPRISING SELECTIVE ANDROGEN RECEPTOR MODULATORS	MILLER, DUANE D.
<u>10106521</u>	<u>6638943</u>	150	03/25/2002	YOHIMBINE DIMERS EXHIBITING BINDING SELECTIVITIES FOR ALPHA2 ADRENERGIC RECEPTORS	MILLER, DUANE D.
<u>09461543</u>	Not Issued	161	12/15/1999	NON-STEROIDAL RADIOLABELED AGONIST/ANTAGONIST COMPOUNDS AND THEIR USE IN PROSTATE CANCER IMAGING	MILLER, DUANE D.
<u>09090425</u>	<u>6019957</u>	150	06/04/1998	NON-STEROIDAL RADIOLABELED AGONIST/ANTAGONIST COMPOUNDS AND THEIR USE IN PROSTATE CANCER IMAGING	MILLER, DUANE D.
<u>09086699</u>	<u>6160011</u>	150	05/29/1998	NON-STEROIDAL AGONIST COMPOUNDS AND THEIR USE IN MALE HORMONE THERAPY	MILLER, DUANE D.
<u>08998259</u>	<u>5997252</u>	150	12/24/1997	WIND DRIVEN ELECTRICAL POWER GENERATING APPARATUS	MILLER, DUANE G.
<u>08978511</u>	<u>6071957</u>	150	11/25/1997	IRREVERSIBLE NON-STEROIDAL ANTAGONIST COMPOUND AND ITS USE IN THE TREATMENT OF PROSTATE CANCER	MILLER, DUANE D.
<u>08617370</u>	Not Issued	161	03/18/1996	DRUGS FOR THE TREATMENT OF CARDIAC ARREST AND OTHER SHOCK STATES	MILLER, DUANE D.
<u>08312665</u>	<u>5527830</u>	150	09/26/1994	DRUGS FOR THE TREATMENT OF CARDIAC ARREST AND OTHER SHOCK STATES	MILLER, DUANE D.
<u>08214351</u>	Not Issued	161	03/15/1994	AMPA ANTAGONISTS	MILLER, DUANE D.
<u>08119661</u>	Not Issued	166	09/13/1993	DRUGS FOR THE TREATMENT OF CARDIAC ARREST AND OTHER SHOCK STATES	MILLER, DUANE D.
<u>07816643</u>	Not	161	01/02/1992	FOUR WHEEL VEHICLE WITH	MILLER,

	Issued			COMPOUNDS AND THEIR USE IN MALE HORMONE THERAPY	DUANE D.
<u>09580640</u>	Not Issued	161	05/30/2000	PROTECTOR PLATE	MILLER, DUANE J.
<u>09510108</u>	<u>6482861</u>	150	02/22/2000	IRREVERSIBLE NON-STEROIDAL ANTAGONIST COMPOUND AND ITS USE IN THE TREATMENT OF PROSTATE CANCER	MILLER, DUANE D

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	Last Name	First Name
Search Another:	<input type="text" value="Miller"/>	<input type="text" value="Duane"/>
Inventor		<input type="button" value="Search"/>

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STN-STRUCTURE SEARCH

10/679,722

7.28.04

=> d ibib abs hitstr 1-6

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:932559 CAPLUS

DOCUMENT NUMBER: 139:17118

TITLE: Yohimbine dimers exhibiting selectivity for the human α 2c-adrenoceptor subtype

AUTHOR(S): Lalchandani, Shilpa G.; Lei, Longping; Zheng, Weiping; Suni, Mustafa M.; Moore, Bob M.; Liggett, Stephen B.; Miller, Duane D.; Feller, Dennis R.

CORPORATE SOURCE: Department of Pharmacology, University of Mississippi, University, MS, USA

SOURCE: Journal of Pharmacology and Experimental Therapeutics (2002), 303(3), 979-984

CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental Therapeutics

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Yohimbine is a potent and selective α 2- vs. α 1-adrenoceptor antagonist. To date, drugs with high specificity for the α 2-adrenoceptor show marginal selectivity among the three α 2-adrenoceptor subtypes. Initial studies showed that yohimbine was about 4- and 15-fold more selective for the human α 2C-adrenoceptor in comparison with the α 2A- and α 2B-adrenoceptors, resp. To improve on this α 2-adrenoceptor subtype selectivity, a series of yohimbine dimers (varying from n = 2 to 24 spacer atoms) were prepared and evaluated for receptor binding on human α 2-adrenoceptor subtypes expressed in Chinese hamster ovary cells. Each dimeric analog showed higher affinities for α 2A- and α 2C-adrenoceptor vs. the α 2B-adrenoceptor; and yohimbine dimers with spacers of n = 2, 3, 4, 18, and 24 exhibited selectivity for the α 2C-adrenoceptor. The yohimbine dimers n = 3 and n = 24 showed the highest potency and selectivity (32- and 82-fold, resp.) for the α 2C-adrenoceptor in receptor binding and in functional studies (42- and 29-fold, resp.) measuring cAMP changes using a cell-based luciferase reporter gene assay. The dimers (n = 3 and n = 24) had high selectivity (>1000-fold) for the α 2C-adrenoceptor compared with the three α 1-adrenoceptor subtypes. These findings demonstrate that the addition of spacer linkages to bivalent yohimbine mols. provides a successful approach to the development of ligands that are potent and highly selective for the α 2C-adrenoceptor.

IT 538357-71-0 538357-72-1 538357-73-2

538357-74-3 538357-75-4 538357-76-5

538357-77-6 538357-78-7 538357-79-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

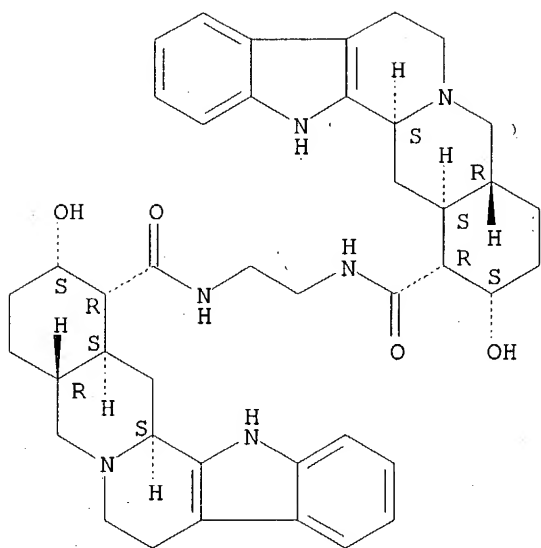
(yohimbine dimers exhibiting selectivity for human α 2c-adrenoceptor subtype)

RN 538357-71-0 CAPLUS

CN Yohimban-16-carboxamide, N,N'-1,2-ethanediylbis[17-hydroxy-, (16 α ,17 α)-(16' α ,17' α)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

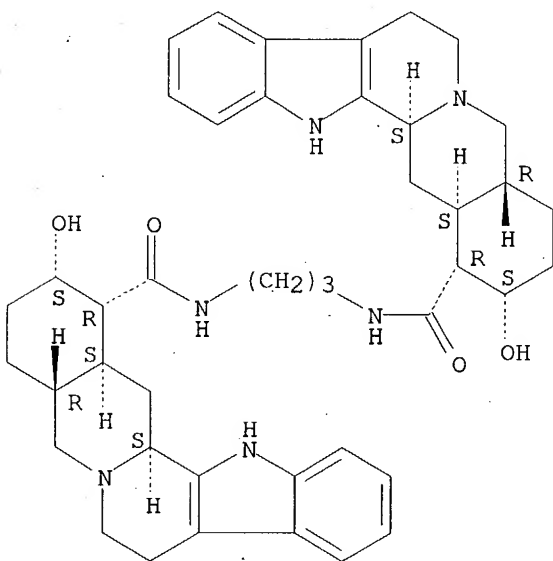
10/679,722



RN 538357-72-1 CAPLUS

CN Yohimban-16-carboxamide, N,N'-1,3-propanediylbis[17-hydroxy-,
(16 α ,17 α)-(16' α ,17' α)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 538357-73-2 CAPLUS

CN Yohimban-16-carboxamide, N,N'-1,4-butanediylbis[17-hydroxy-,
(16 α ,17 α)-(16' α ,17' α)-(9CI) (CA INDEX NAME)

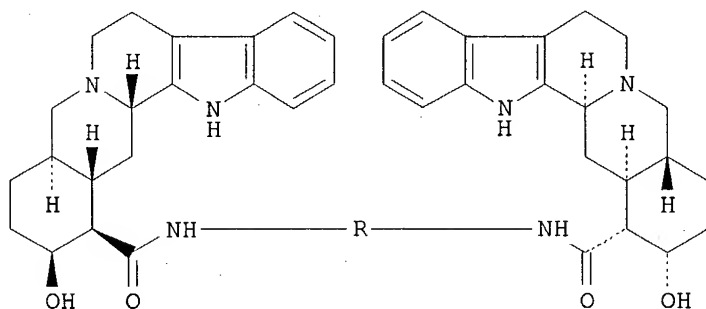
Absolute stereochemistry.

10/679,722

L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2002:754163 CAPLUS
 DOCUMENT NUMBER: 137:263224
 TITLE: Yohimbine dimers exhibiting binding selectivities for α_2 adrenergic receptors
 INVENTOR(S): Miller, Duane D.; Zheng, Weiping; Moore, Robert M., II; Mustafa, Suni
 PATENT ASSIGNEE(S): The University of Tennessee Research Corporation, USA
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076399	A2	20021003	WO 2002-US9267	20020325
WO 2002076399	A3	20021114		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003036547	A1	20030220	US 2002-106521	20020325
US 6638943	B2	20031028		

PRIORITY APPLN. INFO.: US 2001-278181P P 20010323
 OTHER SOURCE(S): MARPAT 137:263224
 GI

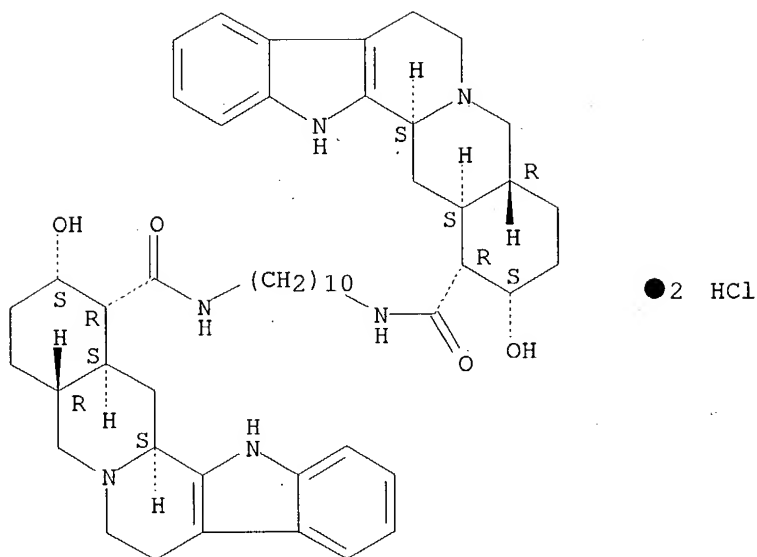


I

AB The yohimbine dimer compds. I (R = linker mol. having a length of 2.5 Å to about 45 Å) were prepared as an α_2 -AR antagonist and has selectivity of an α_2 -AR subtype over another α_2 -AR subtype. Thus, yohimbic acid was treated with H₂NCH₂CH₂NH₂ to give I (R = CH₂CH₂).HCl. The binding affinity (K_i) of I (R = CH₂CH₂).HCl on human α_2a -AR was 26.4 ± 7.3 and α_2b -AR was 1510 ± 262 with a α_2a/α_2b selectivity of 57.2.

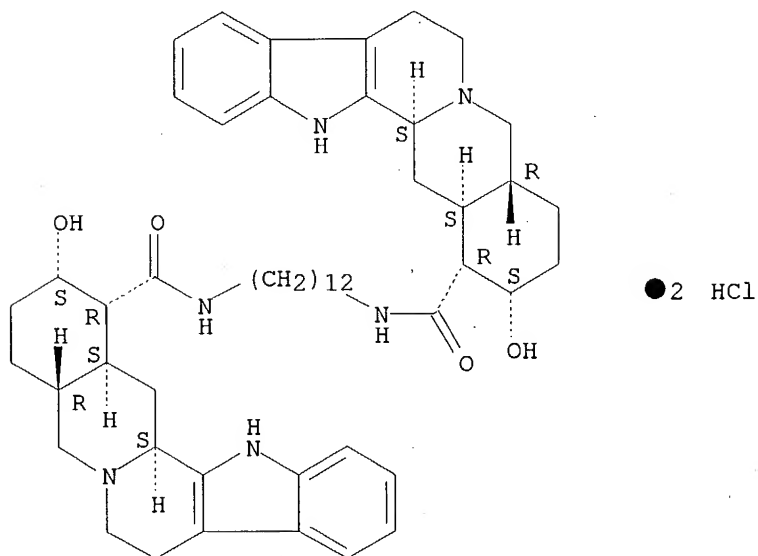
IT 269745-09-7P 269745-10-0P 269745-11-1P
 269745-12-2P 269745-13-3P 269745-14-4P
 269745-15-5P 269745-16-6P 269745-17-7P
 269745-18-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU



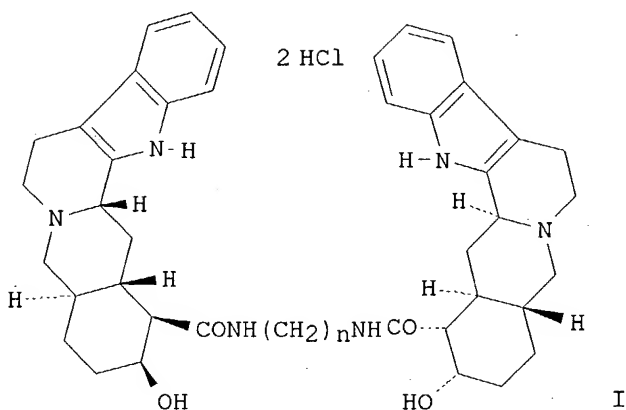
RN 269745-18-8 CAPLUS
 CN Yohimban-16-carboxamide, N,N'-1,12-dodecanediylbis[17-hydroxy-,
 dihydrochloride, (16 α ,17 α)-(16' α ,17' α)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2000:235082 CAPLUS
 DOCUMENT NUMBER: 132:347779
 TITLE: Yohimbine dimers exhibiting binding selectivities for
 human α 2a - versus α 2b - adrenergic
 receptors
 AUTHOR(S): Zheng, Weiping; Lei, Longping; Lalchandani, Shilpa;
 Sun, Guoping; Feller, Dennis R.; Miller, Duane D.

CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Tennessee, Memphis, TN, 38163, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(7), 627-630
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB A series of yohimbine dimers was prepared and evaluated at the human α 2a- and α 2b-adrenergic receptors (ARs) expressed in Chinese hamster ovary (CHO) cells. All dimers display higher binding selectivities for α 2a vs. α 2b subtype than yohimbine, and four compds. I ($n = 5, 6, 8, 10$) represent the most potent and α 2a- vs. α 2b-AR selective ligands identified so far.

IT 269745-09-7P 269745-10-0P 269745-11-1P
 269745-12-2P 269745-13-3P 269745-14-4P
 269745-15-5P 269745-16-6P 269745-17-7P
 269745-18-8P

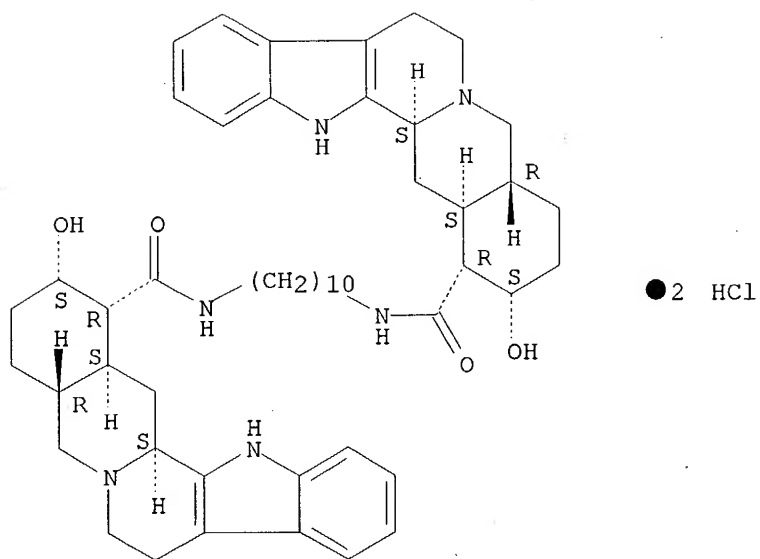
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of yohimbine dimers and their binding affinities on human α 2a- and α 2b-adrenergic receptors)

RN 269745-09-7 CAPLUS

CN Yohimban-16-carboxamide, N,N'-1,2-ethanediylbis[17-hydroxy-, dihydrochloride, (16 α ,17 α)-(16' α ,17' α)- (9CI) (CA
 INDEX NAME)

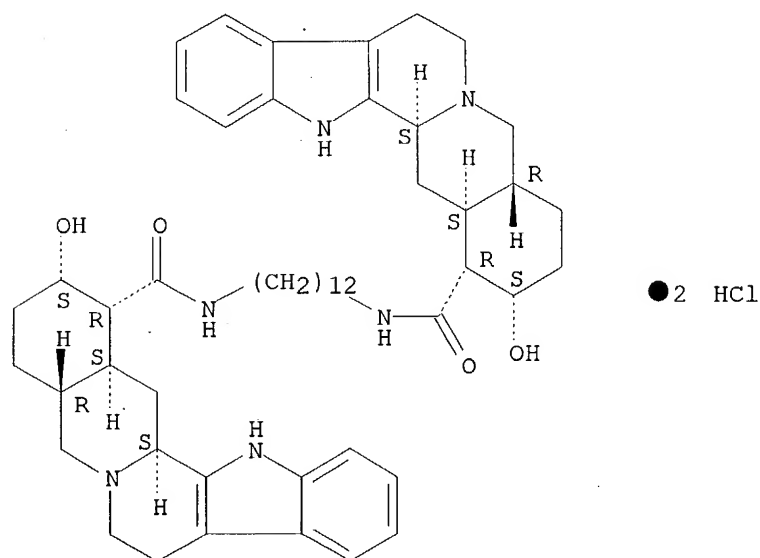
Absolute stereochemistry.

10/679,722



RN 269745-18-8 CAPLUS
CN Yohimban-16-carboxamide, N,N'-1,12-dodecanediylbis[17-hydroxy-,
dihydrochloride, (16 α ,17 α)-(16' α ,17' α)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



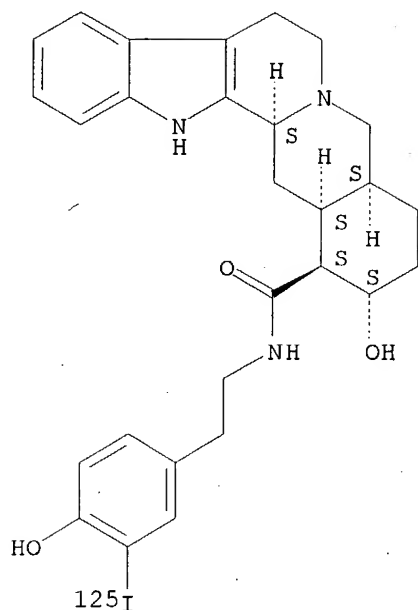
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:736398 CAPLUS
DOCUMENT NUMBER: 132:45085
TITLE: Characterization of a new radioiodinated probe for the
 α 2C adrenoceptor in the mouse brain
AUTHOR(S): Dossin, Olivier; Mouledous, Lionel; Baudry, Xavier;

Tafani, Jean-Andre-Mathieu; Mazarguil, Honore; Zajac, Jean-Marie
CORPORATE SOURCE: Institut de Pharmacologie et de Biologie Structurale,
CNRS UPR 9062, Toulouse, 31077, Fr.
SOURCE: Neurochemistry International (1999), Volume Date 2000,
36(1), 7-18
CODEN: NEUIDS; ISSN: 0197-0186
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB [125I]17 α -hydroxy-20 α -yohimban-16 β -(N-4-hydroxyphenethyl)carboxamide or [125I]rauwolscine-OHPC, a new radioiodinated probe derived from rauwolscine was synthesized and its binding characteristics investigated on sections of the mouse caudate putamen. [125I]rauwolscine-OHPC binding was saturable and revealed interaction with a single class of binding sites (K_D = 0.171 nM, B_{max} = 3082 pCi/mg of tissue). The kinetically derived affinity was in close agreement with the affinity evaluated by saturation expts.: k_{-1}/k_{+1} (0.0403 min⁻¹/114 106 M⁻¹ min⁻¹) = 0.35 nM. Competition studies revealed interaction with one single class of binding sites for each of the twelve compds. tested. The rank of potency suggested an interaction with α_2 adrenoceptors (atipamezole \geq RX 821002 > yohimbine > (-)epinephrine). Moreover, the good affinity of [125I] rauwolscine-OHPC binding sites for spiroxatrine, yohimbine, WB 4101, the relatively good affinity for prazosin (K_i = 37.4 nM) and the affinity ratio prazosin/oxytazoline (37.4/43.4=0.86) were consistent with an α_2C selective labeling of [125I]rauwolscine-OHPC. The distribution of [125I]rauwolscine-OHPC binding sites in mouse brain was characterized by autoradiog. The d. of binding sites was high in the islands of Calleja, accumbens nucleus, caudate putamen and olfactory tubercles, moderate in the hippocampus, amygdala and anterodorsal nucleus of the thalamus. These findings demonstrated that [125I]rauwolscine-OHPC is a useful radioiodinated probe to label α_2C adrenoceptors in mouse brain.
IT 252878-60-7
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (synthesis and characterization of new radioiodinated probe for α_2C adrenoceptor in mouse brain)
RN 252878-60-7. CAPLUS
CN Yohimban-16-carboxamide, 17-hydroxy-N-[2-[4-hydroxy-3-(iodo-125I)phenyl]ethyl]-, (16 β ,17 α ,20 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/679,722



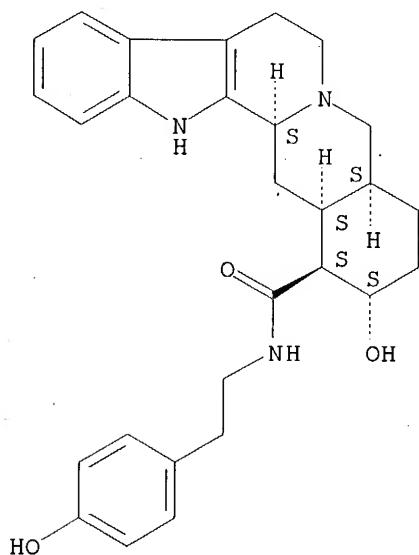
IT 252878-59-4P

RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(synthesis and characterization of new radioiodinated probe for α_2C adrenoceptor in mouse brain)

RN 252878-59-4 CAPLUS

CN Yohimban-16-carboxamide, 17-hydroxy-N-[2-(4-hydroxyphenyl)ethyl]-, (16 β ,17 α ,20 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:594384 CAPLUS

10/679,722

DOCUMENT NUMBER: 107:194384
TITLE: Purification of the α 2-adrenergic receptor from porcine brain using a yohimbine-agarose affinity matrix
AUTHOR(S): Repaske, Mary G.; Nunnari, Jodi M.; Limbird, Lee E.
CORPORATE SOURCE: Dep. Pharmacol., Vanderbilt Univ., Nashville, TN, 37232, USA
SOURCE: Journal of Biological Chemistry (1987), 262(25), 12381-6
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The α 2-adrenergic receptors were solubilized from porcine brain particulate preps. by sequential extraction into Na cholate- and digitonin-containing buffers. The α 2-adrenergic receptors in the digitonin extract were identified by using the α 2-adrenergic selective antagonist. [3 H]yohimbine and demonstrated the same specificity for interaction with adrenergic ligands as did the receptors in particulate preps. Extraction into digitonin-containing buffers eliminated the modulation of receptor-agonist interactions by guanine nucleotides, but not by monovalent cations. A novel affinity resin, yohimbine-agarose, was synthesized and used for purification of α 2-adrenergic receptors. By using 2 sequential yohimbine-agarose affinity chromatog. steps, digitonin-solubilized α 2-adrenergic receptors from porcine brain cortex were purified to homogeneity as assessed by radioiodination and Ag stain anal. of these preps. on SDS-PAGE. The purified α 2-adrenergic receptor has an approx. Mr = 65,000, as determined by photolabeling of the adrenergic ligand-binding subunit. The yohimbine-agarose affinity resin should be useful for purifying quantities of receptor sufficient for studies of receptor structure and functions.

IT 111018-62-3P

RL: PREP (Preparation)

(preparation of, as stationary phase for α 2-adrenergic receptor purification by affinity chromatog.)

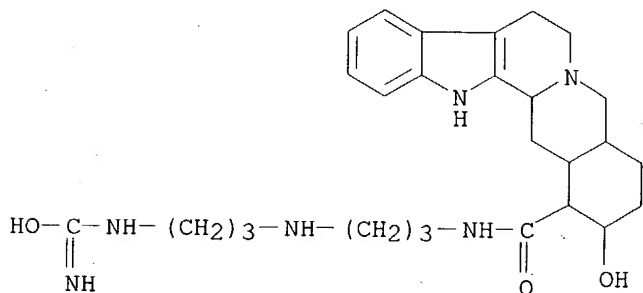
RN 111018-62-3 CAPLUS

CN Agarose, [3-[[[3-[[[(16 α ,17 α)-17-hydroxy-yohimban-16-yl]carbonyl]amino]propyl]amino]propyl]carbamide (9CI) (CA INDEX NAME)

CM 1

CRN 173761-30-3

CMF C27 H40 N6 O3



CM 2

CRN 9012-36-6

CMF Unspecified
CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1986:403033 CAPLUS

DOCUMENT NUMBER: 105:3033

TITLE: Synthesis and characterization of a high affinity
radioiodinated probe for the α 2-adrenergic
receptor

AUTHOR(S): Lanier, Stephen M.; Hess, Hans Jurgens; Grodski, Alex;
Graham, Robert M.; Homcy, Charles J.

CORPORATE SOURCE: Cardiac Unit, Massachusetts Gen. Hosp., Boston, MA,
02114, USA

SOURCE: Molecular Pharmacology (1986), 29(3), 219-27
CODEN: MOPMA3; ISSN: 0026-895X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis and characterization are described of functionalized derivs. of the selective α 2-adrenergic antagonists, rauwolscine and yohimbine, which can be radiolabeled to high specific activity with 125I. Following demethylation of rauwolscine or yohimbine, the resultant carboxylic acid derivs. were reacted with 4-aminophenethylamine to yield the resp. 4-aminophenethyl carboxamides, 17 α -hydroxy-20 α -yohimban-16 β -[N-4-amino-phenethyl]carboxamide (rau-pAPC) and 17 α -hydroxy-20 β -yohimban-16 α -[N-4-aminophenethyl]carboxamide. In competitive inhibition studies using rat renal membranes and the radioligand [3H]rauwolscine, rau-pAPC (K_i = 11 nM) exhibited a 14-fold greater affinity than the corresponding yohimbine derivative (K_i = 136 nM). The higher affinity compound, rau-pAPC, was radioiodinated by the chloramine T method, and the product, 125I-rau-pAPC [17 α -hydroxy-20 α -yohimban-16 β -(N-4-amino-3-[125I]iodophenethyl)carboxamide], was purified by reversed-phase HPLC to high specific activity (2175 Ci/mmol) and its binding characteristics were investigated in rat kidney membranes. Specific binding of 125I-rau-pAPC was saturable and of high affinity as determined by Scatchard anal. (K_D = 1.8 nM) or from kinetic studies (K_D = k_2/k_1 = 0.056 min⁻¹/4.3 \pm 0.2 + 107 M⁻¹ min⁻¹ = 1.3 nM). In competition studies, α -adrenergic antagonists and agonists inhibited the binding of 125I-rau-pAPC with a potency order consistent with an interaction at α 2-adrenergic receptors (rauwolscine > phentolamine > prazosin; clonidine > (-)-epinephrine > (-)-norepinephrine > dopamine > (+)-epinephrine). In rat liver and human platelet membranes, high affinity binding of 125I-rau-pAPC was also observed (liver, K_D = 1.2 nM; platelet, K_D = 3.2 nM). In addition, the d. of α 2-adrenergic receptors identified from binding studies with 125I-rau-pAPC in kidney, liver, and platelet membranes was similar to that observed in parallel studies with [3H]rauwolscine. These findings indicate that 125I-rau-pAPC is a high affinity probe that selectively identifies α 2-adrenergic binding sites. Availability of this radioligand should facilitate the localization and biochem. characterization of this α -adrenergic receptor subtype.

IT 102606-25-7P 102606-26-8P 102679-82-3P

RL: PREP (Preparation)

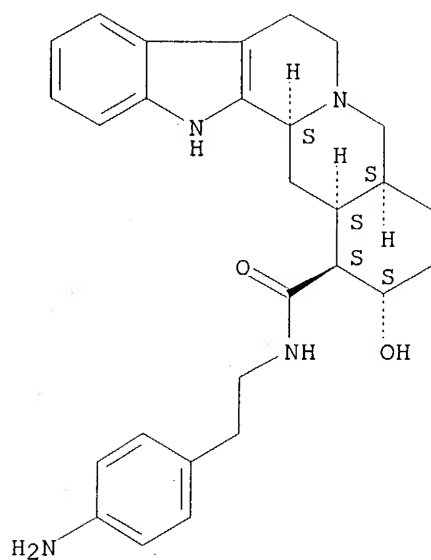
(preparation of, as probe for adrenergic receptors)

RN 102606-25-7 CAPLUS

CN Yohimban-16-carboxamide, N-[2-(4-aminophenyl)ethyl]-17-hydroxy-,
(16 β ,17 α ,20 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

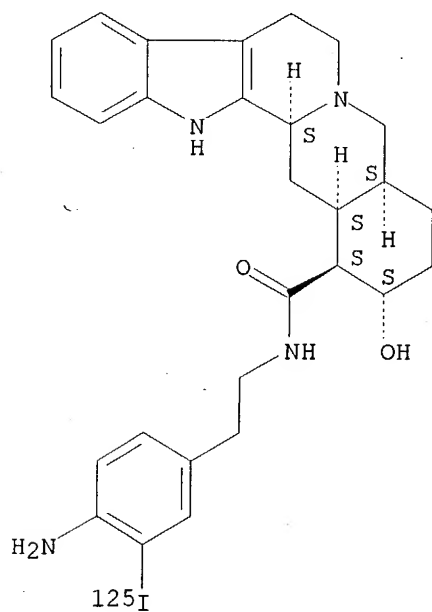
10/679,722



RN 102606-26-8 CAPLUS

CN Yohimban-16-carboxamide, N-[2-[4-amino-3-(iodo-125I)phenyl]ethyl]-17-hydroxy-, (16 β ,17 α ,20 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

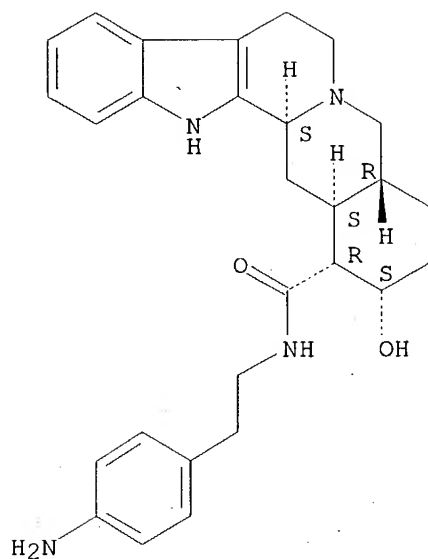


RN 102679-82-3 CAPLUS

CN Yohimban-16-carboxamide, N-[2-(4-aminophenyl)ethyl]-17-hydroxy-, (16 α ,17 α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/679,722



=> d his

(FILE 'HOME' ENTERED AT 08:06:58 ON 28 JUL 2004)

FILE 'REGISTRY' ENTERED AT 08:07:04 ON 28 JUL 2004

L1 STRUCTURE UPLOADED

L2 2 S L1

L3 26 S L1 FULL

FILE 'CAPLUS' ENTERED AT 08:07:38 ON 28 JUL 2004

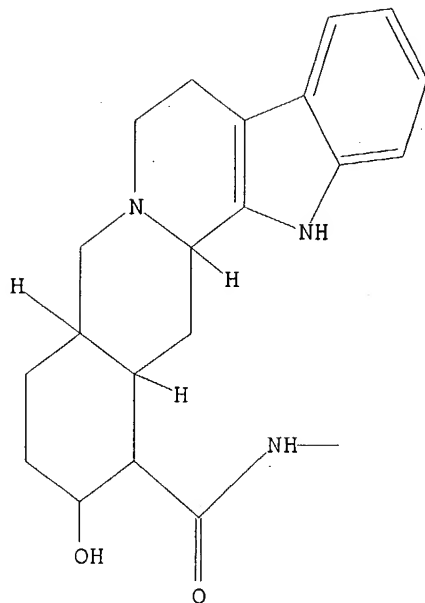
L4 6 S L3

=> d l1

L1 HAS NO ANSWERS

L1 STR

10/679,722



Structure attributes must be viewed using STN Express query preparation.

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